

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L2	1439	544/292, 544/283, 544/284	US-PGPUB; USPAT	OR	OFF	2005/12/07 16:26
L3	437	544/283	US-PGPUB; USPAT	OR	OFF	2005/12/07 16:26

PALM INTRANET

Day : Wednesday

Date: 12/7/2005

Time: 16:22:41

Inventor Information for 10/809635

Inventor Name	City	State/Country
FENG, JUN	CARLSBAD	CALIFORNIA
GWALTNEY, STEPHEN L.	SAN DIEGO	CALIFORNIA
KALDOR, STEPHEN W.	SAN DIEGO	CALIFORNIA
STAFFORD, JEFFREY A.	SAN DIEGO	CALIFORNIA
WALLACE, MICHAEL B.	SAN DIEGO	CALIFORNIA
ZHANG, ZHIYUAN	SAN DIEGO	CALIFORNIA

Appln Info

Contents

Petition Info

Atty/Agent Info

Continuity Data

Foreign Data

Search Another: Application#

Search

or Patent#

Search

PCT / /

Search

or PG PUBS #

Search

Attorney Docket #

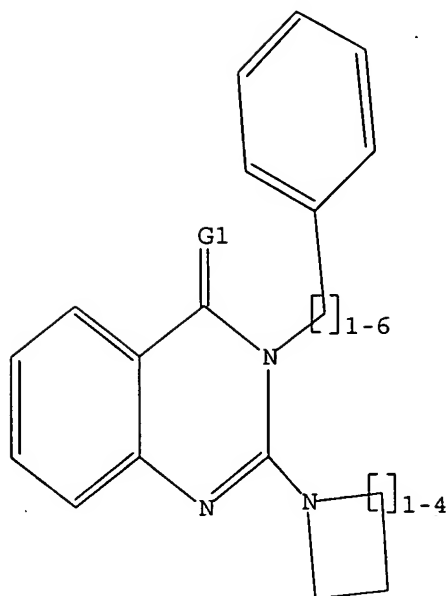
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Bar Code #

Search

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G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:23:53 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 7 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 272 TO 928
 PROJECTED ANSWERS: 7 TO 298

L2 7 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:23:59 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 819 TO ITERATE

100.0% PROCESSED 819 ITERATIONS 216 ANSWERS
 SEARCH TIME: 00.00.01

L3 216 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE
 ENTRY

TOTAL
 SESSION

FULL ESTIMATED COST

161.33

161.54

FILE 'CAPLUS' ENTERED AT 10:24:05 ON 07 DEC 2005
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<12/07/2005>

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FILE COVERS 1907 - 7 Dec 2005 VOL 143 ISS 24
FILE LAST UPDATED: 6 Dec 2005 (20051206/ED)

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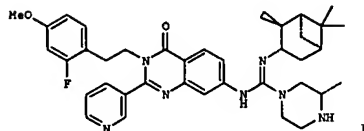
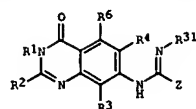
L4 5 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2005:490293 CAPLUS
 DOCUMENT NUMBER: 143:43903
 TITLE: Preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation
 INVENTOR(S): Boyce, Rustum S.; Speake, Jason D.; Phillips, James
 PATENT ASSIGNEE(S): Chiron Corporation, USA; GlaxoSmithKline
 SOURCE: PCT Int. Appl., 1999 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051391	A1	20050609	WO 2004-US39020	20041119
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, EE, EG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, HR, NE, SN, TD, TG				
US 2005192297	A1	20050901	US 2004-993147	20041119
PRIORITY APPL. INFO.:			US 2003-523336P	P 20031119
			US 2003-524492P	P 20031124
OTHER SOURCE(S): MARPAT 143:43903				
GI				

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. (I; R1 = (substituted) aralkyl, heteroarylalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R2 = H, (substituted) aralkyl, heteroarylalkyl, alkoxy, alkylamino, dialkylamino, aryl, heteroaryl, heterocyclyl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3, R4, R6 = H, Cl, F, Br, I, OMe, NH2, cyano, NO2, (substituted) alkoxy, alkyl; R31 = H, (substituted) alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl; Z = (substituted) 3-oxopiperazinyl, and tautomers), were prepared. Thus, title compound (II) (preparation via coupling of 6-methylpiperazin-2-one with the corresponding quinazolinylthiourea derivative in the presence of polymer-supported carbodiimide) showed a plasma half life of 1.9 h in mice.

IT 817626-63-4P 817627-21-7P 817627-22-8P
 817627-28-4P 817627-29-5P 817627-30-8P
 817627-35-3P 817627-36-4P 817627-41-1P
 817627-42-2P 817627-43-3P 817627-44-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

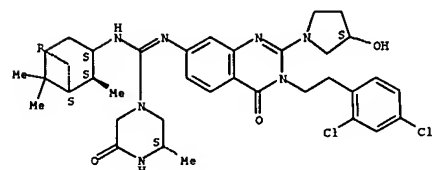
(preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation)

RN 817626-63-4 CAPLUS

CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

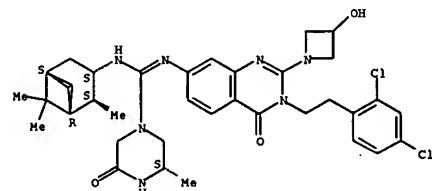
L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-21-7 CAPLUS

CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

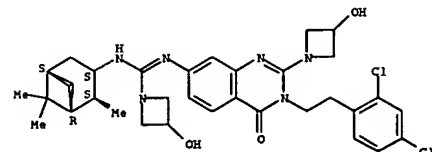
Absolute stereochemistry.



RN 817627-22-8 CAPLUS

CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[(3S)-3-hydroxy-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

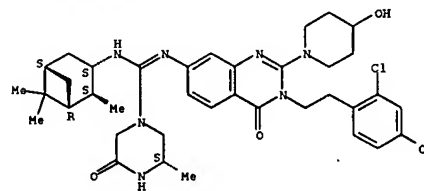


L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 817627-28-4 CAPLUS

CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[(3S)-3-hydroxy-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

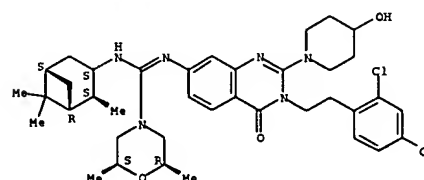
Absolute stereochemistry.



RN 817627-29-5 CAPLUS

CN 4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[(4S)-4-hydroxy-1-piperidinyl]-4-oxo-7-quinazolinyl]-2,6-dimethyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (2R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-30-8 CAPLUS

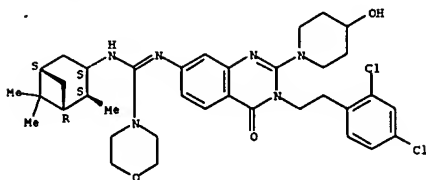
CN 4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[(4S)-4-hydroxy-1-piperidinyl]-4-oxo-7-quinazolinyl]-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

<12/07/2005>

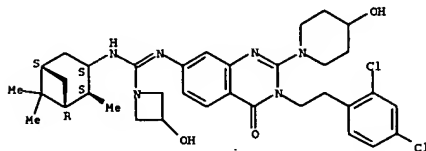
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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-35-3 CAPLUS
 CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

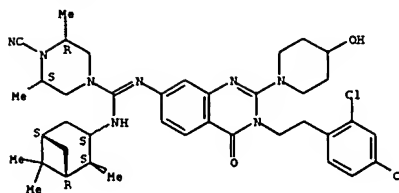
Absolute stereochemistry.



RN 817627-36-4 CAPLUS
 CN 1-Piperazinecarboximidamide, 4-cyano-N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3,5-dimethyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

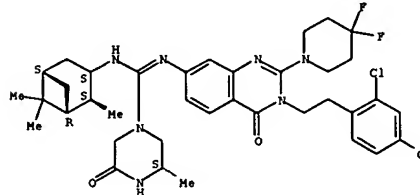
Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-41-1 CAPLUS
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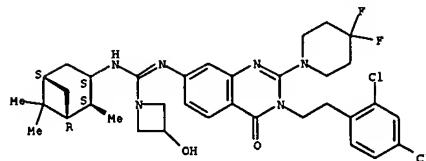
Absolute stereochemistry.



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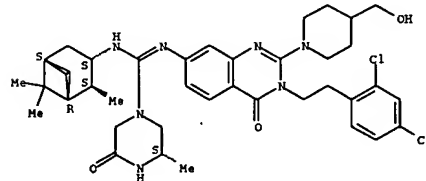
Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



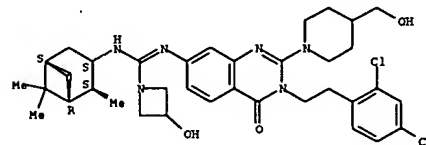
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 CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-(hydroxymethyl)-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-44-4 CAPLUS
 CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-(hydroxymethyl)-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

<12/07/2005>

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L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:1156498 CAPLUS

DOCUMENT NUMBER:

142:93848

TITLE:

Preparation of guanidino-substituted quinazolinone compounds as MC4-R agonists

INVENTOR(S):

Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron; Conlee, Christopher R.; Thompson, Brian D.; De Armas, Kuntz Judith; Musso, David L.; Barvian, Kevin K.; Thomson, Stephen A.; Swain, William R.; Du, Kien S.; Chauder, Brian A.; Speake, Jason D.; Bishop, Michael J.

PATENT ASSIGNEE(S):

Chiron Corporation, USA; Glaxosmithkline

SOURCE:

PCT Int. Appl., 277 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

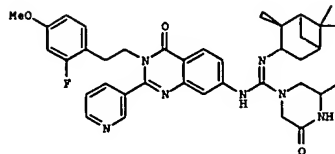
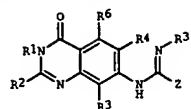
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PATENT INFORMATION:

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005059662	A1	20050317	US 2004-850967	20040521
PRIORITY APPL. INFO.:			US 2003-473317P	P 20030523
			US 2003-52336P	P 20031119
			US 2003-524492P	P 20031124
OTHER SOURCE(S):		MARPAT 142:93848		
GI				

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)



AB A variety of small mol., guanidine-containing mols. capable of acting as MC4-R agonists such as I-III [Z1 = CR4, N: Z2 = CR5, N: Z3 = CR6, N: R1 = (un)substituted arylalkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, arylalkyl, aryl, etc.; R4-R6 = H, Cl, I, F, Br, CH, etc.; V = IV (wherein R11, R12 = H, (un)substituted alkyl, aryl, etc.; at least one of R11 and R12 is (un)substituted heterocyclylalkyl; R13 = H, (un)substituted aryl, alkyl, etc.; R14 = H, (un)substituted alkyl, cycloalkyl, etc.) are provided. General procedures used in the synthesis of compds. I-III are described. E.g., a multi-step synthesis of (1S,2S,3S,5S)-V, was given. The exemplified compds. I-III were tested against MC4-R and exhibited -logEC50 values above about 3. The compds. I are useful in treating MC4-R mediated diseases such as obesity and type II diabetes. The pharmaceutical composition comprising the compound I is disclosed.

IT 817626-63-4P 817627-21-7P 817627-22-8P

817627-28-4P 817627-29-5P 817627-30-6P

817627-35-3P 817627-36-4P 817627-41-4P

817627-42-2P 817627-43-3P 817627-44-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of guanidino-substituted quinazolinone compds. as MC4-R agonists)

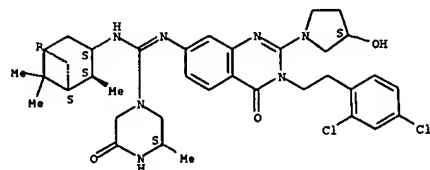
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CN 1-Piperazinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[1R,2S,3S,5S]-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

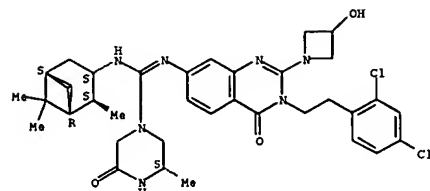
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

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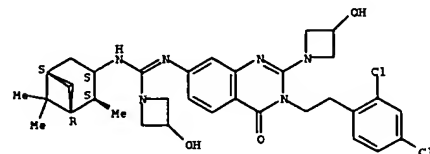
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CN 1-Piperazinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[1R,2S,3S,5S]-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-22-8 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-2,6-dimethyl-N'-[1R,2S,3S,5S]-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



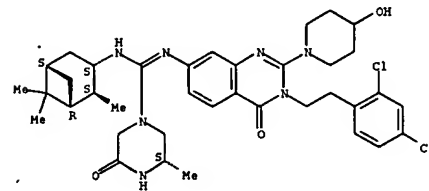
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

RN 817627-28-4 CAPLUS

CN 1-Piperazinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[1R,2S,3S,5S]-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

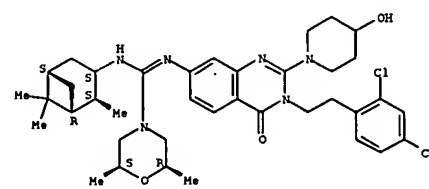
Absolute stereochemistry.



RN 817627-29-5 CAPLUS

CN 4-Morpholinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-2,6-dimethyl-N'-[1R,2S,3S,5S]-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (2R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-30-8 CAPLUS

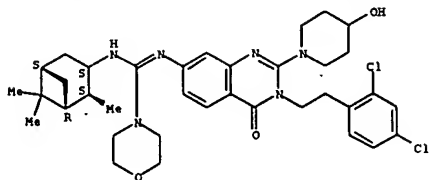
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Absolute stereochemistry.

<12/07/2005>

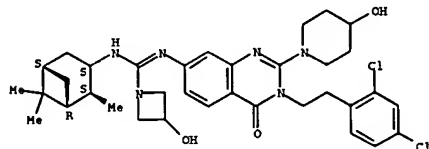
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L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-35-3 CAPLUS
 CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

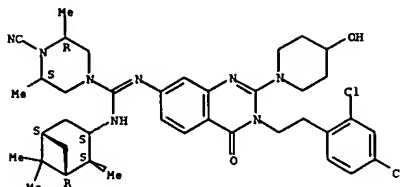
Absolute stereochemistry.



RN 817627-36-4 CAPLUS
 CN 1-Piperazinecarboximidamide, 4-cyano-N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3,5-dimethyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,5S)- (9CI) (CA INDEX NAME)

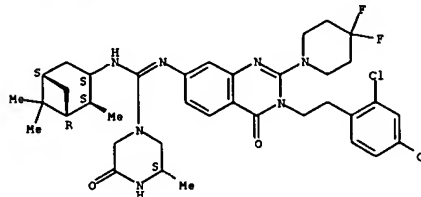
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-41-1 CAPLUS
 CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

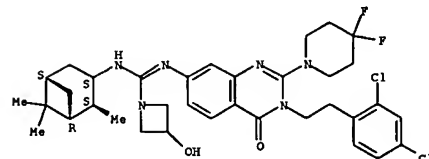
Absolute stereochemistry.



RN 817627-42-2 CAPLUS
 CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

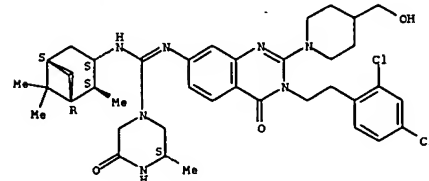
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



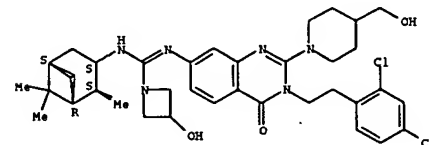
RN 817627-43-3 CAPLUS
 CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-44-4 CAPLUS
 CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

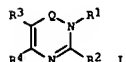
<12/07/2005>

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own
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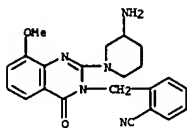
L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2004:857326 CAPLUS
 DOCUMENT NUMBER: 141:309639
 TITLE: Dipeptidyl peptidase inhibitors
 INVENTOR(S): Feng, Jun; Gwaltney, Stephen L.; Kaldor, Stephen W.;
 Stafford, Jeffrey A.; Wallace, Michael B.; Zhang,
 Zhiyuan
 PATENT ASSIGNEE(S): Syrrm, Inc., USA
 SOURCE: PCT Int. Appl., 244 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087053	A2	20041014	WO 2004-US9217	20040324
WO 2004087053	C2	20041111		
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2004242568 A1 20041202 US 2004-809636 20040324 US 2004242566 A1 20041202 US 2004-809636 20040324 US 2004259870 A1 20041223 US 2004-809637 20040324 US 2005004117 A1 20050106 US 2004-809635 20040324 PRIORITY APPL. INFO.: HARPAT 141:309639 US 2003-457785P P 20030325 OTHER SOURCE(S): GI				

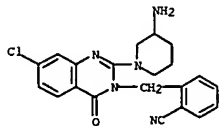


AB Dipeptidyl peptidase IV inhibitors I [Q = CO, SO, SO₂, C=NR₅; R₁ = 2R₆; 2 = moiety providing 1-6 atom separation between R₆ and ring; R₂ = (substituted)3-7-membered ring; R₃, R₄ = taken together form a (substituted)5-6-membered ring; R₅ = H, (substituted)alkyl, cycloalkyl, etc.; R₆ = (substituted)3-7-cycloalkyl or aryl] are disclosed. Thus, 2-[2-(3-aminopiperidin-1-yl)-6,7-dimethoxy-4-oxo-4H-quinazolin-3-ylmethyl]benzonitrile (I; R₁ = 2-cyanophenylmethyl; R₂ = 3-aminopiperidin-1-yl; R₃, R₄ = dimethoxyphenyl) was synthesized. This

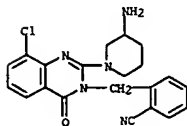
L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



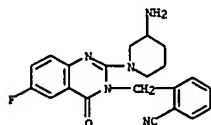
RN 769157-57-5 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-7-chloro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



RN 769157-58-6 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-8-chloro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



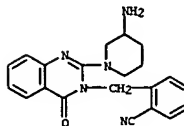
RN 769157-59-7 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



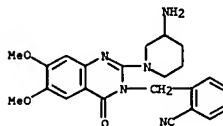
<12/07/2005>

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 compd. exhibited enhanced stability in rat liver microsomes.

IT 769157-54-2P 769157-55-3P 769157-56-4P
 769157-57-5P 769157-58-6P 769157-59-7P
 769157-63-3P 769157-65-5P 769157-71-3P
 769157-81-5P 769157-89-3P 769157-91-7P
 769157-92-8P 769157-93-9P 769157-94-0P
 769157-95-1P 769158-01-2P 769158-02-3P
 769158-03-4P 769158-04-5P 769158-05-6P
 769158-06-7P 769158-14-7P
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
 BIOL (Biological study); PREP (Preparation)
 (dipeptidyl peptidase inhibitors)
 RN 769157-54-2 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



RN 769157-55-3 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

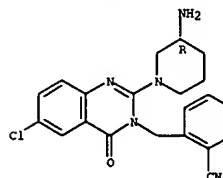


RN 769157-56-4 CAPLUS
 CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-8-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 769157-63-3 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-chloro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

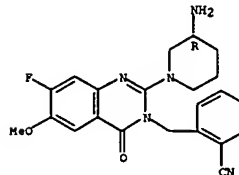


RN 769157-65-5 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-7-fluoro-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 769157-64-4
 CHF C22 H22 F N5 O2

Absolute stereochemistry.



CH 2

CRN 76-05-1
 CHF C2 H F3 O2

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L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

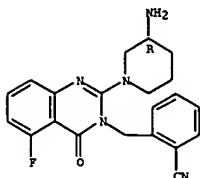


RN 769157-71-3 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 769157-70-2
 CMF C21 H20 F N5 O

Absolute stereochemistry.



CH 2

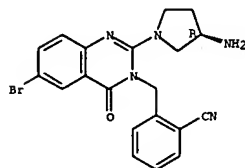
CRN 76-05-1
 CMF C2 H F3 O2



RN 769157-81-5 CAPLUS
 CN 4(3H)-Quinazolinone, 2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-3-[(2-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



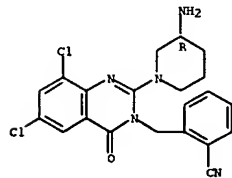
CH 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 769157-92-8 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,8-dichloro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

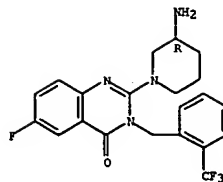
Absolute stereochemistry.



RN 769157-93-9 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

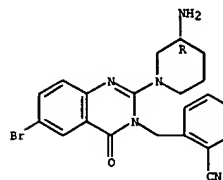
Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 769157-89-3 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



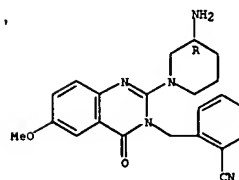
RN 769157-91-7 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-pyrrolidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 769157-90-6
 CMF C20 H18 Br N5 O

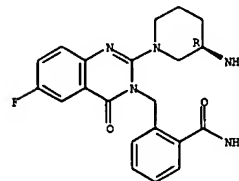
Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



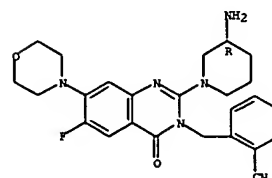
RN 769157-94-0 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 769157-95-1 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-7-(4-morpholinyl)-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

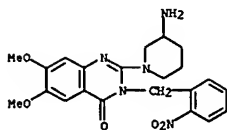


RN 769158-01-2 CAPLUS

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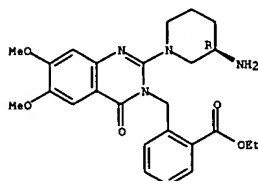
<12/07/2005>

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 4(3H)-Quinazolinone, 2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-3-[(2-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 769158-02-3 CAPLUS
 CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

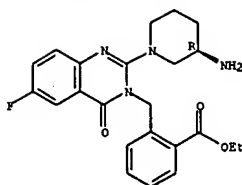
Absolute stereochemistry.



RN 769158-03-4 CAPLUS
 CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

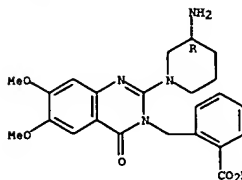
Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 769158-04-5 CAPLUS
 CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

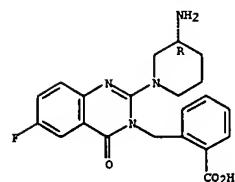
Absolute stereochemistry.



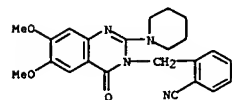
RN 769158-05-6 CAPLUS
 CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

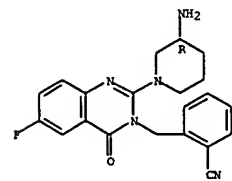


RN 769158-06-7 CAPLUS
 CN Benzonitrile, 2-[[6,7-dimethoxy-4-oxo-2-(1-piperidinyl)-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



RN 769158-14-7 CAPLUS
 CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:211993 CAPLUS
 DOCUMENT NUMBER: 140:264510
 TITLE: 4-Oxo-quinazoline agonist ligands for the liver X nuclear receptor and their use in treatment of disorders of lipid metabolism
 INVENTOR(S): Kober, Ingo; Albers, Michael; Kogel, Manfred; Blume, Beatrice; Deuschle, Ulrich; Krenoser, Claus
 PATENT ASSIGNEE(S): Phenex Pharmaceuticals A.-G., Germany
 SOURCE: Eur. Pat. Appl., 85 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

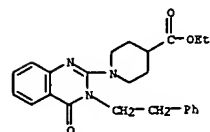
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1398032	A1	20040317	EP 2003-20417	20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
EP 1407774	A1	20040414	EP 2002-20255	20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPL. INFO.: MARPAT 140:264510
 OTHER SOURCE(S):
 AB 4-Oxo-quinazoline ligands for liver X receptors (LXR receptors, LXRA/NR1H3 and LXRbeta/NR1H2) acting as selective agonists of the receptor are described. The invention further relates to the treatment of diseases and/or conditions through binding of said nuclear receptors and selective agonistic effects by said compounds, and the production of medicaments

using said compounds. In particular the compounds are useful in the treatment of hypercholesterolemia, obesity or other diseases associated with elevated lipoprotein (LDL) levels. Reporter gene methods of screening for effective agonists of the receptor are described.

IT 671211-38-4
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (as liver X receptor agonist; 4-oxo-quinazoline agonist ligands for liver X nuclear receptor and their use in treatment of disorders of lipid metabolism)

RN 671211-38-4 CAPLUS
 CN 4-Piperidinecarboxylic acid, 1-[3,4-dihydro-4-oxo-3-(2-phenylethyl)-2-quinazolinyl]-, ethyl ester (9CI) (CA INDEX NAME)

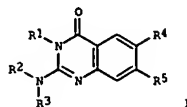


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)

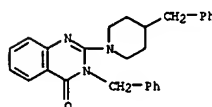
L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STM

ACCESSION NUMBER: 2002:543605 CAPLUS
 DOCUMENT NUMBER: 138:106649
 TITLE: Solid-phase synthesis of quinazolin-4(3H)-ones with three-point diversity
 AUTHOR(S): Kesarwani, A. P.; Srivastava, G. K.; Rastogi, S. K.; Kundu, B.
 CORPORATE SOURCE: Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India
 SOURCE: Tetrahedron Letters (2002), 43(32), 5579-5581
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:106649
 GI



AB A versatile method for the solid-phase synthesis of differentially substituted quinazolin-4(3H)-ones I (R1 = Et, Ph, PhCH2; R2 = Bu, R3 = Me; R2R3N = N-methylpiperazino, 4-benzylpiperidino, morpholino; R4 = R5 = H, R4R5 = CH:CHCH:CH) was developed using immobilized arylguanidines. The latter were obtained by treating the amino group of polymer-linked aminoaryl amide with isothiocyanates R1NCS followed by coupling of resulting thioureas with secondary amines R2R3NH4. Under mild acidic conditions, these immobilized arylguanidines underwent cyclization/polymer matrix cleavage to give I in high yields and purities.

IT 485402-04-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid-phase synthesis of (amino)quinazolinones with three points of diversity from aminoaryl carboxylic acids, isothiocyanates, and secondary amines)
 RN 485402-04-8 CAPLUS
 CN 4(3H)-Quinazolinone, 3-(phenylmethyl)-2-[4-(phenylmethyl)-1-piperidinyl]- (SCI) (CA INDEX NAME)



L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)
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